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(54) Title: DIPEPTIDE TRANSGLUTAMINASE INHIBITORS AND METHODS OF USING THE SAME

(57) Abstract: The present invention relates to novel compounds of Formula (I) wherein 'X' represents an amino acid group, 'n' is an integer between 1 and 4, 'R₁' represents benzyl, t-butyl or 9-fluorenylmethyl and 'R₂' represents a tetramethylmercaptoimidazole derivative or -S⁺R₃R₄, wherein R₃ and R₄ each independently represent lower alkyl, or a pharmaceutically and/or veterinarily acceptable derivative thereof. The present invention further relates to pharmaceutical formulations of said compound and the use thereof in the preparation of a medicament for inhibiting diseases in which transglutaminase has been implicated. Advantageously, the medicament is for treating fibrosis, scarring and/or cancer. Additionally, the invention relates to a method of inhibiting autoimmune diseases such as coeliac disease, neurodegeneration and chronic inflammatory diseases (e.g. of the joints including rheumatoid arthritis and osteoarthritis in a subject). The invention further relates to a method for preventing or treating rejection of a transplanted organ.



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